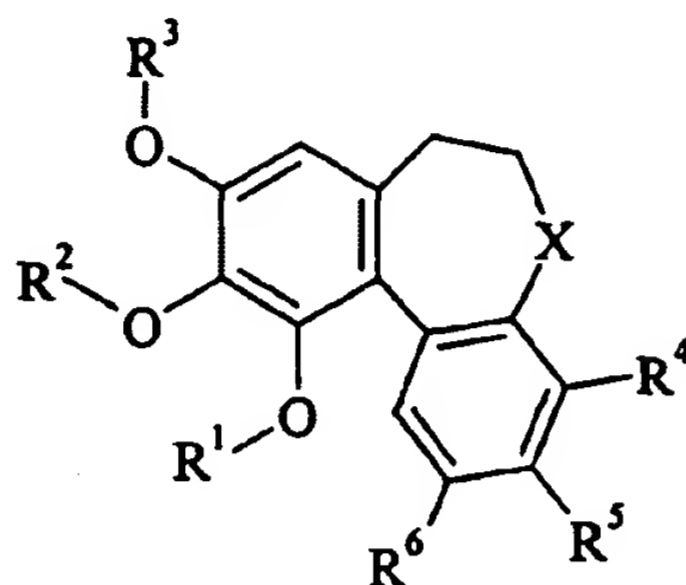


IN THE CLAIMS:

Claim 1 (cancelled).

Claim 2 (currently amended and reformatted): A compound of the formula

IIa:



(IIa)

wherein

X is ~~C(O)-, C(S)-, C=NOH, or~~ -CH(R⁷)- wherein R⁷ is hydrogen, hydroxy, C₁₋₇alkoxy, -OR⁸ or -NR⁸R⁹, (wherein

R⁸ is a group -Y¹R¹⁰, (wherein

Y¹ is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR¹¹-, -SO₂- or -SO₂NR¹²-

(wherein R¹¹ and R¹², which may be the same or different, each independently represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R¹⁰ is selected from one of the following nine groups:

1) hydrogen, C₁₋₇alkyl, C₃₋₇cycloalkyl, C₁₋₄alkylY⁸C₁₋₄alkyl wherein Y⁸ is as defined herein, or phenyl, (which alkyl, cycloalkyl, alkylY⁸alkyl or phenyl group may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, carboxy,

carbamoyl, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl,

C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, phenyl, nitro, sulphate, phosphate,

. Z¹, (wherein Z¹ represents a 5-6 membered saturated heterocyclic group

1. Click on "Tools."
2. Select "Options" from the drop-down menu.
3. Click the "Network" tab.
4. Locate the Proxy Settings area.

(linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy,

C₁₋₄aminoalkyl, C₁₋₄alkylamino, cyano, C₁₋₄alkyl, C₁₋₄alkoxy, C₁₋₄alkylsulphonyl, C₁₋₄alkyl and Z² (wherein Z² is a 5-6-membered

1. Select Edit from the Preferences menu.
saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

2. Enter the name of your proxy server and the port number. If you do not know what to enter, contact your Network Administrator.

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy,

If you are certain that you are not using a proxy server, C₁₋₄aminoalkyl, C₁₋₄alkylamino, cyano, C₁₋₄alkyl, C₁₋₄alkoxy, C₁₋₄alkylsulphonyl, C₁₋₄alkyl and Z² (wherein Z² is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

C₁₋₄alkylZ¹ (wherein Z¹ is as defined herein), and

LAUNCHcast is also not currently compatible with SOCKS proxy servers. If you will need to disable this connection type within your browser in order to connect if this is your current method.

C₃₋₇cycloalkyl or a group R¹⁵ wherein R¹⁵ is a phenyl group or a

5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy,

C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR¹⁶R¹⁷ and -NR¹⁸COR¹⁹ (wherein R¹⁶, R¹⁷, R¹⁸ and R¹⁹, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl));

- 2) R¹⁵ wherein R¹⁵ is as defined herein;
- 3) C₂₋₇alkenylR¹⁵ (wherein R¹⁵ is as defined herein);
- 4) C₃₋₇alkynylR¹⁵ (wherein R¹⁵ is as defined herein);

5) Z^1 (wherein Z^1 is as defined herein);

6) $C_{1-7}alkylZ^1$ (wherein Z^1 is as defined herein);

7) $C_{1-7}alkylY^8Z^1$ (wherein Z^1 is as defined herein and Y^8 is $-C(O)-$, $-NR^{59}C(O)-$, $-NR^{59}C(O)C_{1-4}alkyl-$, $-C(O)NR^{60}-$ or $-C(O)NR^{60}C_{1-4}alkyl-$, (wherein R^{59} and R^{60} , which may be the same or different, each represents hydrogen, $C_{1-3}alkyl$, $C_{1-3}hydroxyalkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$));

8) $(C_{1-7}alkyl)_cY^9Z^3$ (wherein c is 0 or 1, Z^3 is an amino acid group and Y^9 is a direct bond, $-C(O)-$ or $-NR^{61}-$ (wherein R^{61} is hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$)); and

9) $C_{1-7}alkylR^{15}$ (wherein R^{15} is as defined herein);

and R^9 is hydrogen, $C_{1-7}alkyl$ or $C_{3-7}cycloalkyl$, which alkyl or cycloalkyl group may bear one or more substituents selected from $C_{1-4}alkoxy$ and phenyl);

R^1 , R^2 and R^3 are each independently hydrogen, PO_3H_2 , sulphate, $C_{3-7}cycloalkyl$, $C_{2-7}alkenyl$, $C_{2-7}alkynyl$, $C_{1-7}alkanoyl$, a group $R^{20}C_{1-7}alkyl$ (wherein R^{20} is phenyl which may bear one or more substituents selected from $C_{1-4}alkyl$, $C_{1-4}alkoxy$, $C_{1-4}aminoalkyl$ and $C_{1-4}hydroxyalkoxy$), $C_{1-7}alkyl$ or $C_{1-7}alkylsulphonyl$, (which alkyl or alkylsulphonyl group may bear one or more substituents selected from:

halogeno, amino, $C_{1-4}alkylamino$, $di(C_{1-4}alkyl)amino$, hydroxy, $C_{1-4}alkoxy$, $C_{1-4}alkylsulphanyl$, $C_{1-4}alkylsulphonyl$, $C_{1-4}alkoxycarbonylamino$, $C_{1-4}alkanoyl$, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^2R^{21}$ (wherein Y^2 is $-NR^{22}C(O)-$ or $-O-C(O)-$, (wherein R^{22} represents hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$) and

R^{21} is $C_{1-7}alkyl$, $C_{3-7}cycloalkyl$ or a group R^{23} wherein R^{23} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, $C_{1-4}alkyl$, $C_{1-4}haloalkyl$, $C_{1-4}alkoxy$, $C_{1-4}hydroxyalkyl$, $C_{1-4}aminoalkyl$, $C_{1-4}alkylamino$, $C_{1-4}hydroxyalkoxy$, carboxy,

cyano, $-\text{CONR}^{24}\text{R}^{25}$ and $-\text{NR}^{26}\text{COR}^{27}$ (wherein R^{24} , R^{25} , R^{26} and R^{27} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl));

with the proviso that at least two of R^1 , R^2 and R^3 are C_{1-7} alkyl;

R^4 is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C_{1-7} alkoxy, C_{1-7} thioalkoxy, C_{1-7} alkanoyl or C_{1-7} alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C_{1-4} alkylamino, $\text{di}(\text{C}_{1-4}$ alkyl)amino, hydroxy, C_{1-4} alkoxy, C_{1-4} alkylsulphanyl, C_{1-4} alkylsulphonyl, C_{1-4} alkoxycarbonylamino, C_{1-4} alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group $-\text{Y}^3\text{R}^{28}$ (wherein Y^3 is $-\text{NR}^{29}\text{C}(\text{O})-$ or $-\text{O}-\text{C}(\text{O})-$ (wherein R^{29} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{28} is C_{1-7} alkyl, C_{3-7} cycloalkyl or a group R^{30} wherein R^{30} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, $-\text{CONR}^{31}\text{R}^{32}$ and $-\text{NR}^{31}\text{COR}^{32}$ (wherein R^{31} , R^{32} , R^{33} and R^{34} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl));

R^5 and R^6 are each independently selected from hydrogen, $-\text{OPO}_3\text{H}_2$, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C_{1-7} alkoxy, C_{1-7} alkanoyl, C_{1-7} thioalkoxy, C_{1-7} alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C_{1-4} alkylamino, $\text{di}(\text{C}_{1-4}$ alkyl)amino, hydroxy, C_{1-4} alkoxy, C_{1-4} alkylsulphanyl, C_{1-4} alkylsulphonyl, C_{1-4} alkoxycarbonylamino, C_{1-4} alkanoyl, carboxy, phenyl, sulphate, phosphate and a group $-\text{Y}^3\text{R}^{28}$ (wherein Y^3 is $-\text{NR}^{29}\text{C}(\text{O})-$ or $-\text{O}-\text{C}(\text{O})-$ (wherein R^{29} represents hydrogen, C_{1-3} alkyl or

C₁₋₃alkoxyC₂₋₃alkyl) and R²⁸ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R³⁰ wherein R³⁰ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR³¹R³² and -NR³¹COR³² (wherein R³¹, R³², R³³ and R³⁴, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl))), and

a group -Y⁴R³⁵ (wherein

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -SO₂-, -OSO₂-, -NR³⁶-, -C₁₋₄alkylNR³⁶-, -C₁₋₄alkylC(O)-, -NR³⁷C(O)-, -OC(O)O-, -C(O)NR³⁸- or -NR³⁹C(O)O- (wherein R³⁶, R³⁷, R³⁸ and R³⁹, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇alkylamino, di(C₁₋₇alkyl)amino, aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, C₁₋₇alkanoylaminoC₁₋₇alkyl, di(C₁₋₇alkyl)aminoC₁₋₇alkylamino, C₁₋₇alkylphosphate, C₁₋₇alkylphosphonate, C₁₋₇alkylcarbamoylC₁₋₇alkyl, (which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from:

halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y⁵R⁴⁰ (wherein Y⁵ is -NR⁴¹C(O)-, -C(O)NR⁴²-, -C(O)-O- or -O-C(O)- (wherein R⁴¹ and R⁴² which may be the same or different each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and R⁴⁰

is C₁₋₇alkyl, C₃₋₇cycloalkyl, carboxyC₁₋₇alkyl or a group R⁴³ wherein R⁴³ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR⁴⁴R⁴⁵ and -NR⁴⁶COR⁴⁷ (wherein R⁴⁴, R⁴⁵, R⁴⁶ and R⁴⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl)),

R⁴⁸ (wherein R⁴⁸ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, di(C₁₋₄hydroxyalkyl)aminoC₁₋₄alkyl, di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl, C₁₋₄hydroxyalkoxy, carboxy, C₁₋₄carboxyalkyl, phenyl, cyano, -CONR⁴⁹R⁵⁰, -NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰, R⁵¹ and R⁵², which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and C₁₋₄alkylR⁵³ (wherein R⁵³ is as defined herein),

C₁₋₇alkylR⁴⁸ (wherein R⁴⁸ is as defined herein),

R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄carboxyalkyl, C₁₋₄aminoalkyl, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, C₁₋₄alkoxyC₁₋₄alkyl, C₁₋₄alkylsulphonylC₁₋₄alkyl and R⁵⁴ (wherein R⁵⁴ is a 5-6-membered saturated

heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkoxyC₁₋₄alkyl and C₁₋₄alkylsulphonyl(C₁₋₄alkyl)), or

(CH₂)_aY⁶(CH₂)_bR⁵³ (wherein R⁵³ is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y⁶ represents a direct bond, -O-, -C(O)-, -NR⁵⁵-, -NR⁵⁶C(O)- or -C(O)NR⁵⁷- (wherein R⁵⁵, R⁵⁶, and R⁵⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl), and wherein one or more of the (CH₂)_a or (CH₂)_b groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R⁵ is not hydroxy, alkoxy, substituted alkoxy (wherein R⁵ is Y⁴R³⁵ and Y⁴ is -O- and R³⁵ is C₁₋₇alkyl bearing one or more substituents selected from the list given herein), -OPO₃H₂, -O-C₁₋₇alkanoyl or benzyloxy;

with the further proviso that at least one of R⁵ or R⁶ is a group -Y⁴R³⁵ (wherein Y⁴ and R³⁵ are as defined herein) but with the further provisos that when R⁵ is -Y⁴R³⁵ and R⁶ is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y⁴R³⁵ is not selected from cases wherein:

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -OSO₂-, -NR³⁶-, -NR³⁷C(O)- or -C(O)NR³⁸- (wherein R³⁶, R³⁷ and R³⁸, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from:

halogeno, hydroxy, and a group -Y⁵R⁴⁰ (wherein Y⁵ is -O-C(O)- and R⁴⁰ is C₁₋₇alkyl)), or R⁴⁸ (wherein R⁴⁸ is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C₁₋₄alkyl); and

that when R^6 is $-Y^4R^{35}$ and R^5 is hydrogen, hydroxy, methoxy or methoxycarbonyl, $-Y^4R^{35}$ is not selected from cases wherein:

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is C_{1-7} alkyl, C_{1-7} alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno), R^{48} (wherein R^{48} is a benzyl group which benzyl group may bear one or more substituents selected from C_{1-4} alkyl), or R^{53} (wherein R^{53} is piperidiny);

or a salt thereof.

Claim 3 (cancelled).

Claim 4 (original): A compound according to claim 2 wherein X is $-CH(R^7)-$ wherein R^7 is $-OR^8$ or $-NR^8R^9$ (wherein R^8 is a group $-Y^1R^{10}$ (wherein Y^1 is $-C(O)-$, $-C(O)O-$ or $-C(O)NR^{11}-$ (wherein R^{11} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and R^{10} is as defined in claim 2) and R^9 is as defined in claim 2).

Claim 5 (previously amended): A compound according to claim 2 wherein R^1 , R^2 and R^3 are each methyl.

Claim 6 (previously amended): A compound according to claim 2 wherein R^4 is hydrogen.

Claim 7 (currently amended and reformatted): A compound according to claim 2 wherein R^6 is hydrogen, halogeno, amino, carboxy, hydroxy, C_{1-7} alkoxy or a group Y^4R^{35} (wherein

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is C_{1-7} alkyl, C_{1-7} alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno), R^{48} (wherein R^{48} is a benzyl group) or R^{53} (wherein R^{53} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N)).

Claim 8 (previously amended): A compound according to claim 2 wherein R^6 is hydrogen, $C(O)OCH_3$ or methoxy.

Claim 9 (presently amended and reformatted): A compound according to claims 2 wherein

R^5 is hydrogen, halogeno, amino, carboxy, carbamoyl, C_{1-7} alkanoyl, C_{1-7} thioalkoxy, or a group $-Y^4R^{35}$ (wherein

Y^4 is $-C(O)-$, $-OC(O)-$, $-O-$, $-SO-$, $-OSO_2-$, $-NR^{36}-$, $-NR^{37}C(O)-$ or $-C(O)NR^{38}-$ (wherein R^{36} , R^{37} and R^{38} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{35} is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C_{1-7} alkyl, C_{1-7} alkoxy, C_{1-7} alkanoyl, C_{1-7} alkanoylamino C_{1-7} alkyl, (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group $-Y^5R^{40}$ (wherein

Y^5 is $-C(O)-O-$ or $-O-C(O)-$ and

R^{40} is C_{1-7} alkyl or a group R^{43} wherein R^{43} is a benzyl group),

R^{48} (wherein R^{48} is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, fluoro, amino, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, di(C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino C_{1-4} alkyl, di(C_{1-4} hydroxyalkyl)amino C_{1-4} alkyl, di(C_{1-4} aminoalkyl)amino C_{1-4} alkyl,

C₁₋₄hydroxyalkoxy, carboxy, C₁₋₄carboxyalkyl, cyano, -CONR⁴⁹R⁵⁰,
-NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰, R⁵¹ and R⁵², which may be the same or different,
each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and C₁₋₄alkylR⁵³
(wherein R⁵³ is as defined herein), C₁₋₇alkylR⁴⁸ (wherein R⁴⁸ is as defined
herein), R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked
via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S
and N, which heterocyclic group may bear 1 or 2 substituents selected from
oxo, hydroxy, fluoro, chloro, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy,
C₁₋₄carboxyalkyl, C₁₋₄aminoalkyl, di(C₁₋₄alkyl)aminoC₁₋₄alkyl,
C₁₋₄alkoxyC₁₋₄alkyl, C₁₋₄alkylsulphonylC₁₋₄alkyl and R⁵⁴ (wherein R⁵⁴ is a
5-6-membered saturated heterocyclic group (linked via carbon or nitrogen)
with 1-2 heteroatoms, selected independently from O, S and N, which
heterocyclic group may bear 1 or 2 substituents selected from
oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy,
C₁₋₄alkoxyC₁₋₄alkyl and C₁₋₄alkylsulphonylC₁₋₄alkyl)), or
(CH₂)_aY⁶(CH₂)_bR⁵³ (wherein R⁵³ is as defined herein, a is 0, or an integer 1-4, b is 0
or an integer 1-4 and Y⁶ represents a direct bond, -O-, -C(O)-, -NR⁵⁵-,
-NR⁵⁶C(O)- or -C(O)NR⁵⁷- (wherein R⁵⁵, R⁵⁶, and R⁵⁷, which may be the same
or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl), and
wherein one or more of the (CH₂)_a or (CH₂)_b groups may bear one or more
substituents selected from hydroxy, amino and halogeno));
with the proviso that R⁵ is not alkoxy, substituted alkoxy (wherein R⁵ is Y⁴R³⁵ and Y⁴
is -O- and R³⁵ is C₁₋₇alkyl bearing one or more substituents selected from the list
given herein), -O-C₁₋₇alkanoyl or benzyloxy.

Claim 10 (original): A compound according to claim 2 selected from:

(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl
3-(((2R)-2,6-diaminohexanoyl)amino}propanoate,

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[(2-aminoacetyl)amino]propanoate,
N-[[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,
(2*S*,3*S*,4*S*,5*R*,6*R*)-6-{[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,
N-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,
N-[(5*S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,
(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,
5-[{(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl}oxycarbonyl]pentanoic acid,
4-(3-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,
and salts thereof.

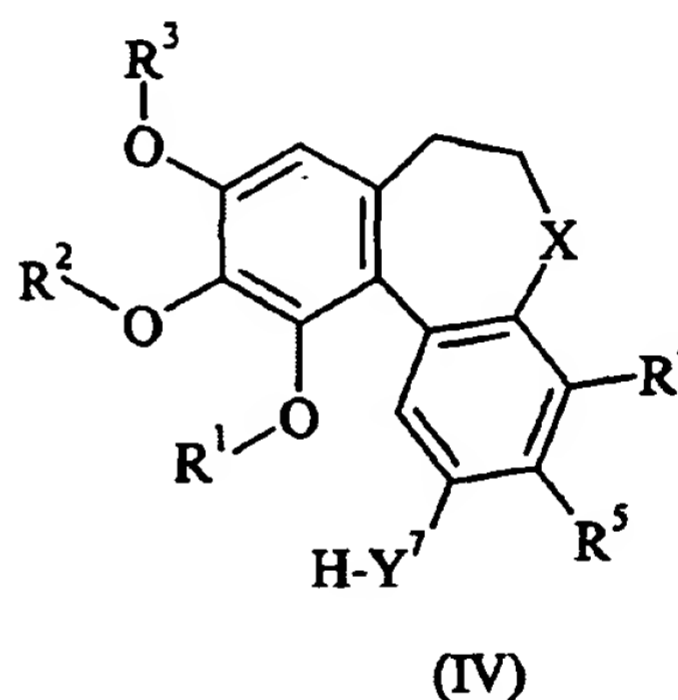
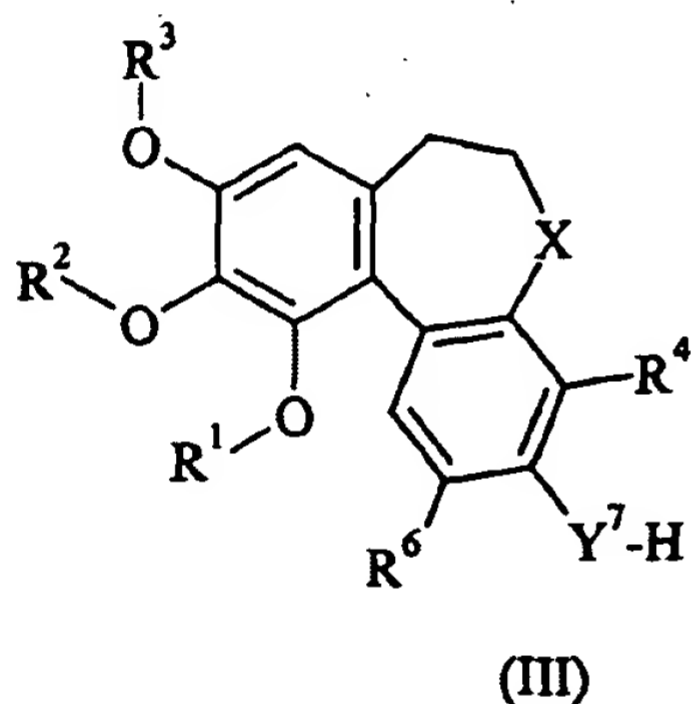
Claim 11 (original): A compound according to claim 2 selected from

N-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,
and salts thereof.

Claim 12 (original): A compound according to claim 2 selected from
 (2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-
 cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide
 and salts thereof.

Claim 13. (original; reformatted): A process for the manufacture of a
 compound of formula IIa as defined in claim 2 which comprises:

- (a) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is
 a group Y⁴R³⁵ (wherein R³⁵ is as defined in claim 2 and Y⁴ is a group -OC(O)- or
 -NHC(O)-), the reaction of a compound of formula III or IV:

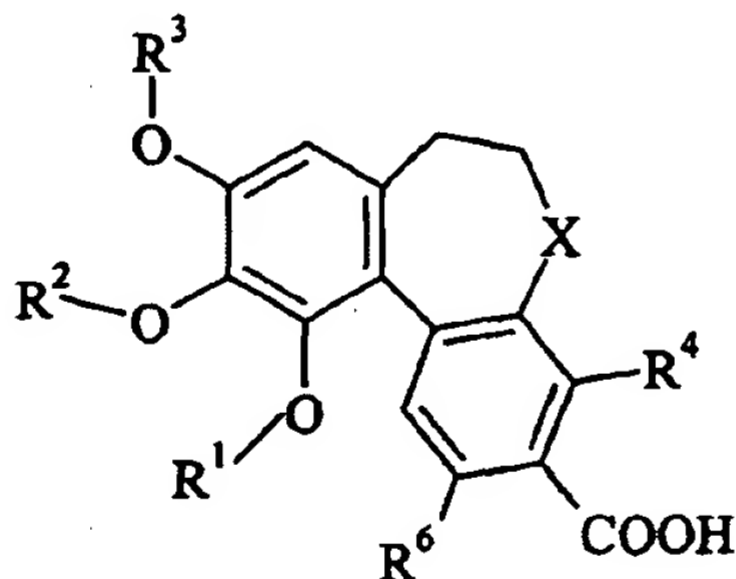


(wherein X, R¹, R², R³, R⁴, R⁵, R⁶ are as defined in claim 2 and Y⁷ is -O- or -NH-), by
 acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is
 a group Y⁴R³⁵ (wherein R³⁵ is C₁₋₇alkoxy which may be substituted as defined in
 claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of
 formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is
 a group Y⁴R³⁵ (wherein R³⁵ is aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino,
 di(C₁₋₇alkyl)aminoC₁₋₇alkylamino and may be substituted as defined in claim 2, or is

R^{53} (wherein R^{53} is as defined in claim 2) and Y^4 is a group $-OC(O)-$ or $-NHC(O)-$, can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

- (d) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is a sugar moiety and Y^4 is a group $-O-$ or $-NH-$), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is sulphate and Y^4 is a group $-O-$ or $-NH-$), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is C_{1-7} alkylphosphate and may be substituted as defined in claim 2 and Y^4 is a group $-O-$ or $-NH-$), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which R^5 is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X , R^1 , R^2 , R^3 , R^4 and R^6 are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (original): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (original): A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.